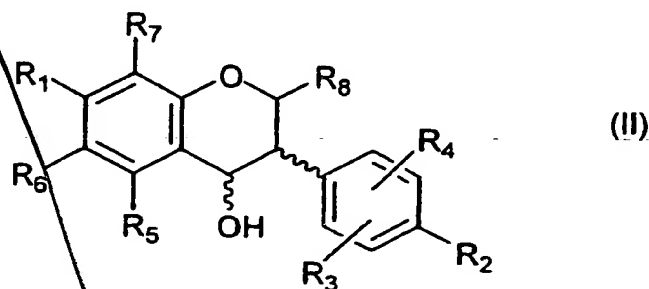


The claims defining the invention are as follows:

1. A method for the preparation of a compound of formula II

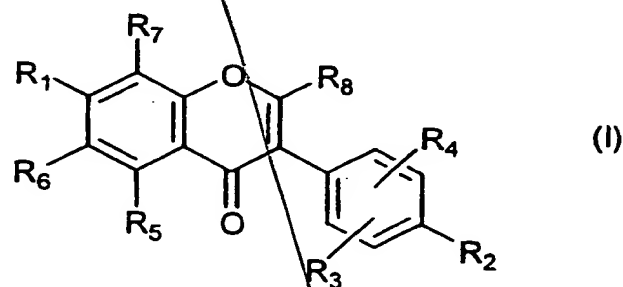


wherein

R₁, R₂, R₃, R₄, R₅, R₆, R₇ and R₈ are independently hydrogen, hydroxy, OR₉, OC(O)R₉, OS(O)R₉, alkyl, haloalkyl, aryl, arylalkyl, thio, alkylthio, amino, alkylamino, dialkylamino, nitro, or halo, and

R₉ is alkyl, haloalkyl, aryl, arylalkyl or alkylaryl,

comprising the step of hydrogenating a compound of formula I



wherein

R₁, R₂, R₃, R₄, R₅, R₆, R₇, R₈ and R₉ are as defined above to prepare a compound of formula II.

2. A method of claim 1, wherein the hydrogenation step is performed with hydrogen in the presence of a reduction catalyst and a solvent.

3. A method of claim 2, wherein the reduction catalyst comprises palladium, palladium hydroxide, platinum or platinum oxide.

4. A method of claim 3, wherein the reduction catalyst is palladium on activated carbon,
5 palladium on barium sulfate or platinum(IV) oxide.

5. A method of claim 4, wherein the reduction catalyst is palladium on activated carbon (1% Pd to 10% Pd).

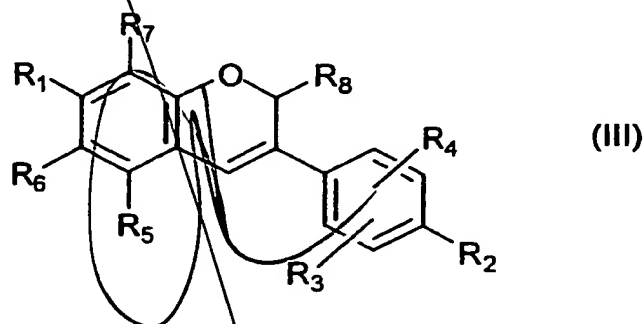
6. A method of claim 5, wherein the reduction catalyst is about 5% palladium on activated carbon.

7. A method of claim 2, wherein the solvent is a C₁-C₈ alcohol, an alkyl acetate or a C₁-C₃ carboxylic acid.

8. A method of claim 7, wherein the solvent is a methanol, ethanol or C₁-C₆ alkyl acetate.

9. A method of claim 8, wherein the solvent is absolute methanol or absolute ethanol.

10. A method of claim 1 which further comprises the step of dehydrating and optionally deprotecting or transforming a compound of formula II to prepare a compound of formula III



R₁, R₂, R₃, R₄, R₅, R₆, R₇ and R₈ are independently hydrogen, hydroxy, OR₉, OC(O)R₉, OS(O)R₉, alkyl, haloalkyl, aryl, arylalkyl, thio, alkylthio, amino, alkylamino, dialkylamino, nitro, or halo, and

R₉ is alkyl, haloalkyl, aryl, arylalkyl or alkylaryl.

11. A method of any one of claims 1 to 10, wherein the compounds of formula I, II or III have the following substituents

5 R₁ is hydroxy, OR₉ or OC(O)R₉,

R₂, R₃, R₄, R₅, R₆ and R₇ are independently hydrogen, hydroxy, OR₉, OC(O)R₉, alkyl, aryl or arylalkyl,

R₈ is hydrogen, and

R₉ is methyl, ethyl, propyl, isopropyl or trifluoromethyl.

10

12. A method of claim 11, wherein the compounds of formula I, II or III have the following substituents

R₁ is hydroxy, OR₉ or OC(O)R₉,

R₂, R₃, R₄, R₅ and R₇ are independently hydrogen, hydroxy, OR₉, OC(O)R₉, alkyl, aryl or

15 arylalkyl,

R₆ and R₈ are hydrogen, and

R₉ is methyl.

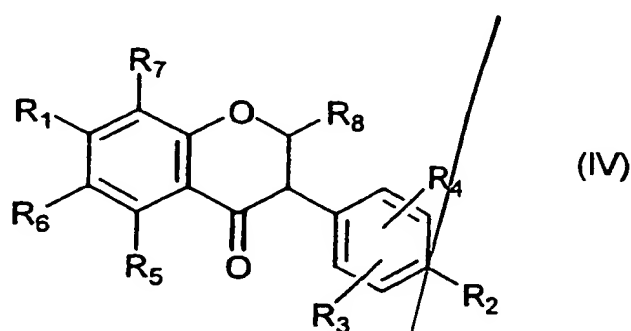
13. A method of any one of claims 1 to 12, wherein the compound of formula I is 4',7-
20 diacetoxyisoflavone (daidzein diacetate) or 7-acetoxy-4'-methoxyisoflavone.

14. A method of any one of claims 1 to 13, wherein the compound of formula II is 4',7-
diacetoxyisoflavan-4-ol (tetrahydrodaidzein diacetate) or 7-acetoxy-4'-methoxyisoflavan-4-
ol.

25

15. A method of any one of claims 10 to 14, wherein and the compound of formula III is
4',7-diacetoxyisoflav-3-ene (dehydroequol diacetate), 4',7-dihydroxyisoflav-3-ene
(dehydroequol), 7-acetoxy-4'-methoxyisoflav-3-ene or 7-hydroxy-4'-methoxyisoflav-3-ene.

30 16. A method for the preparation of a compound of formula IV

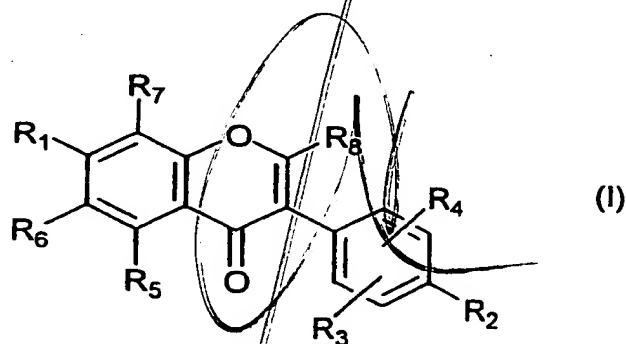


wherein

10 $R_1, R_2, R_3, R_4, R_5, R_6, R_7$ and R_8 are independently hydrogen, hydroxy, OR_9 , $OC(O)R_9$, $OS(O)R_9$, alkyl, haloalkyl, aryl, arylalkyl, thio, alkylthio, amino, alkylamino, dialkylamino, nitro, or halo, and

R_9 is alkyl, haloalkyl, aryl, arylalkyl or alkylaryl,

comprising the step of hydrogenating a compound of formula I



wherein

$R_1, R_2, R_3, R_4, R_5, R_6, R_7, R_8$ and R_9 are as defined above

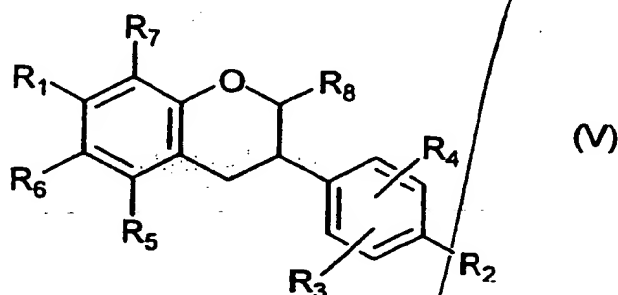
25 to prepare a compound of formula IV.

17. A method of claim 16, wherein the hydrogenation step is performed with hydrogen in the presence of a reduction catalyst and a solvent.

30 18. A method of claim 17, wherein the reduction catalyst comprises palladium, palladium hydroxide, platinum or platinum(IV)oxide.

19. A method of claim 18, wherein the reduction catalyst is palladium on activated carbon (1% Pd to 10% Pd).
20. A method of claim 19, wherein the reduction catalyst is about 5% palladium on 5 activated carbon.
21. A method of claim 17, wherein the solvent is a C₁-C₈ alcohol, a C₁-C₆ alkyl acetate or a C₁-C₃ carboxylic acid.
- 10 22. A method of claim 21, wherein the solvent is absolute methanol, ethanol or ethyl acetate.
23. A method of any one of claims 16 to 22, wherein the compound of formula I is 4',7-diacetoxyisoflavone (daidzein diacetate) or 7-acetoxy-4'-methoxyisoflavone.
- 15 24. A method of any one of claims 16 to 22, wherein the compound of formula IV has the following substituents
R₁ is hydroxy, OR₉ or OC(O)R₉,
R₂, R₃, R₄, R₅, R₆ and R₇ are independently hydrogen, hydroxy, OR₉, OC(O)R₉, alkyl, aryl
20 or arylalkyl,
R₈ is hydrogen, and
R₉ is methyl, ethyl, propyl, isopropyl or trifluoromethyl.
25. A method of claim 24, wherein the compound of formula IV has the following
25 substituents
R₁ is hydroxy, OR₉ or OC(O)R₉,
R₂, R₃, R₄, R₅ and R₇ are independently hydrogen, hydroxy, OR₉, OC(O)R₉, alkyl, aryl or arylalkyl,
R₆ and R₈ are hydrogen, and
30 R₉ is methyl.
26. A method of claim 25, wherein the compound of formula IV is 4',7-diacetoxyisoflavan-4-one (diacetoxydihydrodaidzein) or 4',7-dihydroxyisoflavan-4-one (dihydrodaidzein).

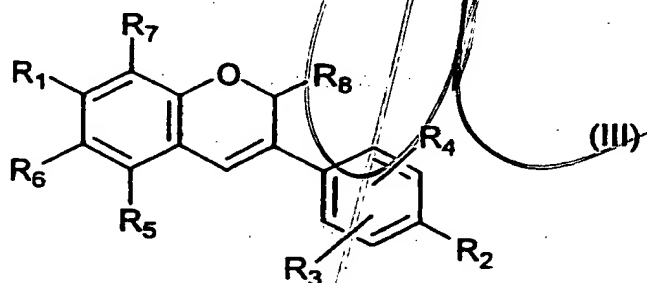
27. A method for the preparation of a compound of formula V



10 wherein

R_1 , R_2 , R_3 , R_4 , R_5 , R_6 , R_7 and R_8 are independently hydrogen, hydroxy, OR_9 , $OC(O)R_9$, $OS(O)R_9$, alkyl, haloalkyl, aryl, arylalkyl, thio, alkylthio, amino, alkylamino, dialkylamino, nitro, or halo, and

15 R_9 is alkyl, haloalkyl, aryl, arylalkyl or alkylaryl,
comprising the step of hydrogenating a compound of formula III



25 wherein

R_1 , R_2 , R_3 , R_4 , R_5 , R_6 , R_7 , R_8 and R_9 are as defined above
to prepare a compound of formula V.

28. A method of claim 27, wherein the hydrogenation step is performed with hydrogen in
30 the presence of a reduction catalyst and a solvent.

29. A method of claim 28, wherein the reduction catalyst comprises palladium, palladium hydroxide, platinum or platinum(IV)oxide.

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38. A method of claim 37, wherein the compound of formula V is 4',7-diacetoxyisoflavan (equol diacetate) or 4',7-dihydroxyisoflavan (equol).

39. Methods substantially as hereinbefore described especially with reference to the Examples.

40. Compounds of formula II or formula III or formula IV or formula V when prepared by a method of any preceding claim.

41. A compound of the formulae II, III, IV or V,
wherein

R₁ is hydroxy, OR₉, OC(O)R₉, thio, alkylthio, or halo,

R₂, R₃, R₄, R₅, R₆, R₇ and R₈ are independently hydrogen, hydroxy, OR₉, OC(O)R₉, OS(O)R₉, alkyl, aryl, thio, alkylthio or halo, and

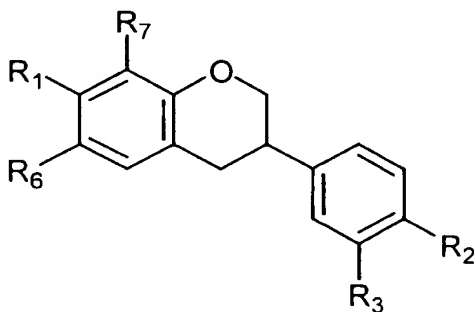
R₉ is alkyl, fluoroalkyl or arylalkyl

with the proviso that

at least one of R₅, R₆ and R₇ is not hydrogen, or

when R₅, R₆ and R₇ are all hydrogen, then R₃ is hydroxy, OR₉, OC(O)R₉, OS(O)R₉, alkyl, aryl, thio, alkylthio or halo.

provided that compounds of the formula

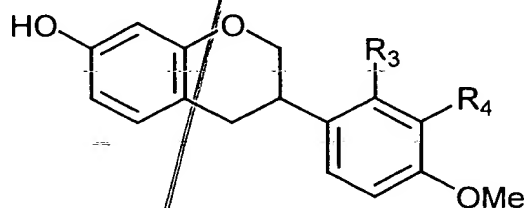


wherein

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~~R₁ is hydroxy or acetoxy,
R₂ is hydrogen, hydroxy, acetoxy, methoxy, methyl, isopropyl or halo,
R₃ is hydrogen, methoxy, methyl, halo or trifluoromethyl,
R₆ is hydrogen, hydroxy or acetoxy, and
R₇ is hydrogen, hydroxy, methyl or methoxy
are specifically excluded,~~

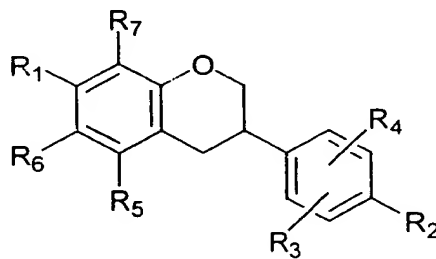
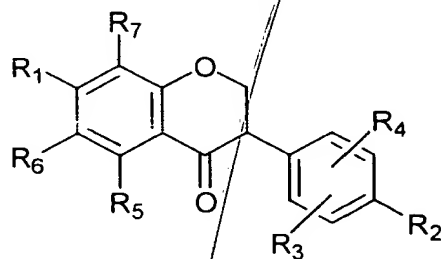
~~provided that compounds of the formula~~



~~wherein~~

~~R₃ is hydroxy or methoxy, and
R₄ is hydrogen or methoxy
are specifically excluded,~~

~~provided that compounds of the formulae~~



~~wherein~~

~~R₁ is hydroxy, methoxy, ethoxy, methylthio or halogen, and
R₂, R₃, R₄, R₅, R₆, and R₇ are independently hydrogen, hydroxy, methoxy, ethoxy,
methylthio or halogen,
are specifically excluded, and~~

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provided that the compounds

4',7-Dihydroxy-3',5'-dimethoxyisoflavan-4-one

4',5-Dimethoxy-7-hydroxy-8-methylisoflavan-4-one

2',7-Dihydroxy-4',8-dimethoxyisoflavan-3-ene

are specifically excluded.

42. A compound of claim 41,

wherein

R₁ is hydroxy, OR₉ or OC(O)R₉,

R₂ and R₃ are independently hydrogen, hydroxy, OR₉ or OC(O)R₉,

R₄, R₅, R₆, and R₈ are hydrogen,

R₇ is hydroxy, OR₉, OC(O)R₉, alkyl, aryl or halo, and

R₉ is methyl, ethyl, propyl, isopropyl, trifluoromethyl or benzyl.

43. A compound of claim 41,

wherein

R₁ is hydroxy, OR₉ or OC(O)R₉,

R₂ and R₃ are independently hydrogen, hydroxy, OR₉ or OC(O)R₉,

R₅ is OR₉, OC(O)R₉, alkyl, aryl or halo,

R₄, R₆, R₇, and R₈ are hydrogen, and

R₉ is methyl, ethyl, propyl, isopropyl, trifluoromethyl or benzyl.

44. A compound of formula I selected from the group consisting of:

4',7,8-Triacetoxyisoflavone

7,8-Diacetoxy-4'-methoxyisoflavone

4',7-Diacetoxy-8-methylisoflavone

3',7-Diacetoxy-8-methylisoflavone

7-Acetoxy-4'-methoxy-8-methylisoflavone

4',7-Diacetoxy-3'-methoxy-8-methylisoflavone

4',5,7-Triacetoxyisoflavone

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45. A compound of formula II selected from the group consisting of:

4',7,8-Triacetoxyisoflavan-4-ol
7,8-Diacetoxy-4-methoxyisoflavan-4-ol
4',7-Diacetoxy-8-methylisoflavan-4-ol
3',7-Diacetoxy-8-methylisoflavan-4-ol
7-Acetoxy-4'-methoxy-8-methylisoflavan-4-ol
4',7-Diacetoxy-3'-methoxy-8-methylisoflavan-4-ol
4',5,7-Triacetoxyisoflavan-4-ol

4',7,8-Trihydroxyisoflavan-4-ol
7,8-Dihydroxy-4-methoxyisoflavan-4-ol
4',7-Dihydroxy-8-methylisoflavan-4-ol
3',7-Dihydroxy-8-methylisoflavan-4-ol
7-Hydroxy-4'-methoxy-8-methylisoflavan-4-ol
4',7-Dihydroxy-3'-methoxy-8-methylisoflavan-4-ol
4',5,7-Trihydroxyisoflavan-4-ol

46. A compound of formula III selected from the group consisting of:

4',7,8-Triacetoxydehydroequol (4',7,8-Triacetoxyisoflav-3-ene)
7,8-Diacetoxy-4-methoxydehydroequol (7,8-Diacetoxy-4-methoxyisoflav-3-ene)
4',7-Diacetoxy-8-methylisoflav-3-ene
3',7-Diacetoxy-8-methylisoflav-3-ene
7-Acetoxy-4'-methoxy-8-methylisoflav-3-ene
4',7-Diacetoxy-3'-methoxy-8-methylisoflav-3-ene
4',5,7-Triacetoxyisoflav-3-ene

Isoflav-3-ene-4',7,8-triol
4'-Methoxyisoflav-3-ene-7,8-diol
8-Methylisoflav-3-ene-4',7-diol
8-Methylisoflav-3-ene-3',7-diol

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4'-Methoxy-8-methylisoflav-3-ene-7-ol
3'-Methoxy-8-methylisoflav-3-ene-4',7-diol
Isoflav-3-ene-4',5,7-triol

add
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